

EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|-------------------------------------|--|------------------|---------|------------------|
| L1 | 4405 | 514/248 544/236 514/300 546/123 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:07 |
| L2 | 475 | I1 and quinolone | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:11 |
| L3 | 68 | I2 and platelet | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:12 |
| L4 | 0 | I3 and sakae and pyridonecarboxylic | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:09 |
| L5 | 327 | I1 and \$dihydroquinolin\$ | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:11 |
| L6 | 59 | I5 and platelet | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/12/27 15:12 |

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| | | | |
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| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS | 3 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS | 4 | AUG 13 | CA/Capplus enhanced with additional kind codes for granted patents |
| NEWS | 5 | AUG 20 | CA/Capplus enhanced with CAS indexing in pre-1907 records |
| NEWS | 6 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS | 7 | AUG 27 | USPATOLD now available on STN |
| NEWS | 8 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS | 9 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS | 10 | SEP 13 | FORIS renamed to SOFIS |
| NEWS | 11 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS | 12 | SEP 17 | CA/Capplus enhanced with printed CA page images from 1967-1998 |
| NEWS | 13 | SEP 17 | Capplus coverage extended to include traditional medicine patents |
| NEWS | 14 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS | 15 | OCT 02 | CA/Capplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS | 16 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS | 17 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS | 18 | NOV 19 | WPIX enhanced with XML display format |
| NEWS | 19 | NOV 30 | ICSD reloaded with enhancements |
| NEWS | 20 | DEC 04 | LINPADOCDB now available on STN |
| NEWS | 21 | DEC 14 | BEILSTEIN pricing structure to change |
| NEWS | 22 | DEC 17 | USPATOLD added to additional database clusters |
| NEWS | 23 | DEC 17 | IMSDRUGCONF removed from database clusters and STN |
| NEWS | 24 | DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS | 25 | DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS | 26 | DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS | 27 | DEC 17 | CA/Capplus enhanced with new custom IPC display formats |
| NEWS | 28 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

| | |
|------------|---|
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FILE 'HOME' ENTERED AT 15:24:39 ON 27 DEC 2007

=> file registry

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0.21

FILE 'REGISTRY' ENTERED AT 15:24:54 ON 27 DEC 2007

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STRUCTURE FILE UPDATES: 26 DEC 2007 HIGHEST RN 959588-76-2

DICTIONARY FILE UPDATES: 26 DEC 2007 HIGHEST RN 959588-76-2

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

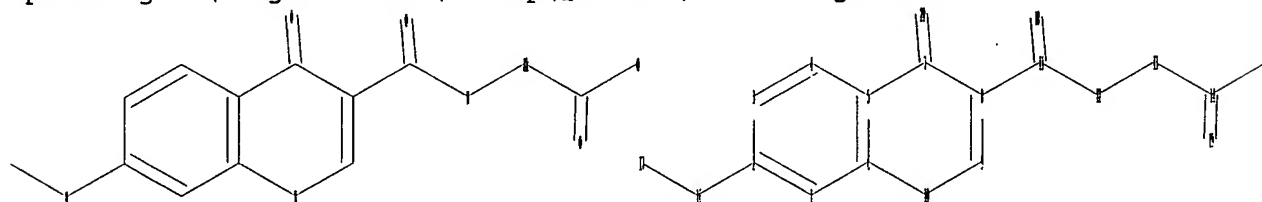
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10-562128genA.str



chain nodes :

11 12 13 14 15 16 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-16 7-20 8-11 11-12 11-18 12-13 13-14 14-15 14-19 16-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

2-16 5-7 6-10 7-8 7-20 8-9 9-10 11-12 11-18 12-13 13-14 14-15 14-19 16-17

exact bonds :

8-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

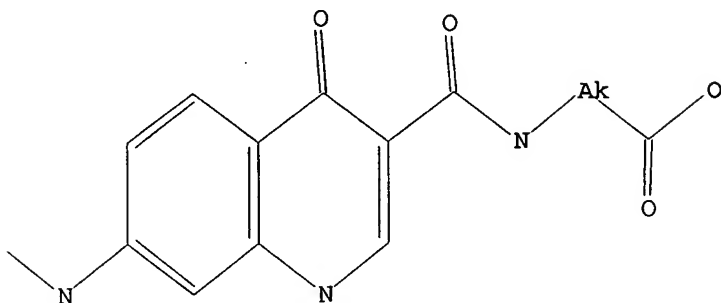
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 15:25:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4281 TO ITERATE

100.0% PROCESSED 4281 ITERATIONS
SEARCH TIME: 00.00.01

8 ANSWERS

L2 8 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 172.55 | 172.76 |

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FILE 'CAPLUS' ENTERED AT 15:26:06 ON 27 DEC 2007

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FILE COVERS 1907 - 27 Dec 2007 VOL 147 ISS 26
FILE LAST UPDATED: 26 Dec 2007 (20071226/ED)

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=> s 12

L3 8 L2

=> d 12 1-8 abs ibib hitstr

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d 13 1-8 abs ibib hitstr

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
 AS Quadruplex nucleotide sequences and methods for identifying interacting
 mol. are provided. The quadruplex sequences comprise C-rich or G-rich
 sequences from human genomic DNA and may conform to the motif
 (CG3+)(N1-7)3G3+ or (CG3+)(N1-7)3C3+, where "3+" is three or more
 nucleotides, C is cytosine, G is guanine, and N is any nucleotide. The
 method for identifying quinoline or porphyrin derivs. that bind to human
 nucleic acid containing a quadruplex structure or displace a protein
 from a nucleic acid comprises: (1) contact the nucleic acid and a compound that
 binds to the nucleic acid with a test mol.; and (2) detecting the amount
 of the compound bound or not bound to the nucleic acid. The test mol. is
 identified as a mol. that binds to the nucleic acid containing the human
 nucleotide sequence when less of the compound binds to the nucleic acid
 in the presence of the test mol. than in the absence of the test mol. The
 invention also identifies 1450 quinolone derivs. that bind to quadruplex
 DNA or RNA sequences. Identifying modulators of nucleic acid synthesis
 is achieved in a system containing template nucleic acid, primer
 oligonucleotides, and DNA polymerase or RNA polymerase.
 ACCESSION NUMBER: 2007:538440 CAPLUS
 DOCUMENT NUMBER: 147:3133
 TITLE: Targeting quadruplex sequences in human nucleic acids
 by identifying interacting quinoline and porphyrin
 derivatives
 INVENTOR(S): O'Brien, Sean; Siddiqui-Jain, Adam
 PATENT ASSIGNEE(S): Cylene Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 219pp.
 CODEM: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007056113 | A2 | 20070518 | WO 2006-042906 | 20061102 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GM, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPL. INFO.: | | | US 2005-732531P | P 20051102 |
| | | | US 2005-735686P | P 20051110 |
| IT 936826-07-2 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) (targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivs.) RN 936826-07-2 CAPLUS | | | | |

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
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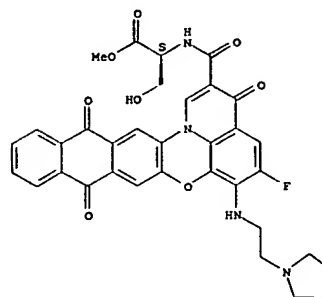
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR1R12 [R11, R12 = same as above], or derivative. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cyclopentyl]. In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(O)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

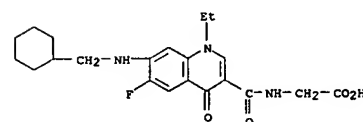
ACCESSION NUMBER: 2006:882644 CAPLUS
 DOCUMENT NUMBER: 145:292885
 TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the preparation thereof
 INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi, Atsushi
 PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
 CODEM: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| JP 2006225379 | A | 20060831 | JP 2006-9367 | 20060118 |
| PRIORITY APPL. INFO.: | | | JP 2005-12618 | A 20050120 |
| OTHER SOURCE(S): MARPAT 145:292885 IT 836613-50-4P 836617-05-1P 836617-06-2P 836617-18-6P 836617-19-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinolone and related compds. as platelet aggregation inhibitors) RN 836613-50-4 CAPLUS | | | | |

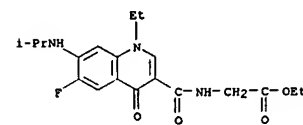
L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[2-[(1-pyrrolidinyl)ethyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)
 Absolute stereochemistry.



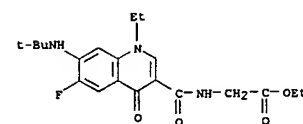
L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



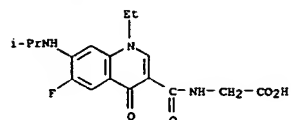
RN 836617-05-1 CAPLUS
 CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



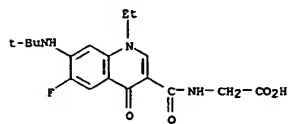
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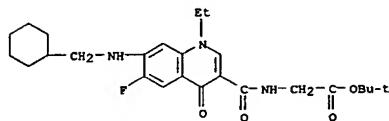
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RN 836617-19-7 CAPLUS
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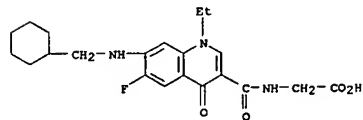
IT 836621-98-8P, tert-Butyl [[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl]amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolone and related compds. as platelet aggregation inhibitors)
RN 836621-98-8 CAPLUS
CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



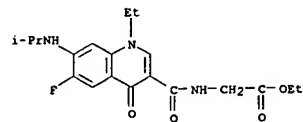
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| JP 2006225378 | A | 20060831 | JP 2006-9349 | 20060118 |
| JP 2005-12561 | A | 20050120 | | |

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 145:292884

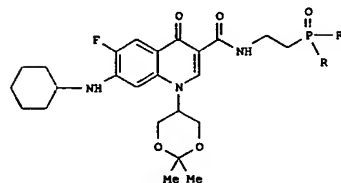
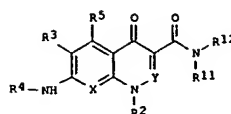
IT 836613-50-4P 836617-05-1P 836617-06-2P
836617-18-6P 836617-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolone derivs. as platelet aggregation inhibitors)
RN 836613-50-4 CAPLUS
CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-05-1 CAPLUS
CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

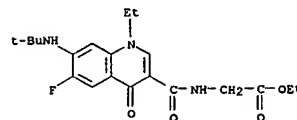


RN 836617-06-2 CAPLUS
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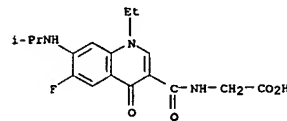


AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C

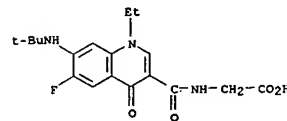
catalyzed debenzoylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%
ACCESSION NUMBER: 2006:882641 CAPLUS
DOCUMENT NUMBER: 145:292884
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JYOKXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1



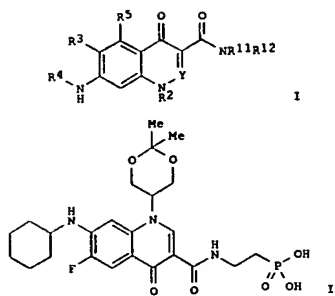
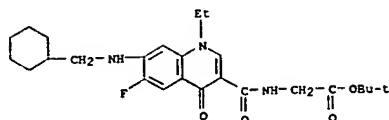
RN 836617-18-6 CAPLUS
CN Glycine, N-[[7-[(1-methylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-19-7 CAPLUS
CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



IT 836621-98-8P, tert-Butyl [[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl]amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolone derivs. as platelet aggregation inhibitors)
RN 836621-98-8 CAPLUS
CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



AB The title compds. (I) and pharmaceutically acceptable salts thereof characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y =

C-R6: R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl are prepared. These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet agglutination inhibitory effect and consequently are useful as platelet agglutination inhibitors. Thus, hydrogenolysis of [2-((7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-

yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl)amino)ethyl]phosphonic acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h

gave [2-((7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM.

ACCESSION NUMBER: 2006:733081 CAPLUS

DOCUMENT NUMBER: 145:188746

TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors
INVENTOR(S): Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 150 pp.

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2006077851 | A1 | 20060727 | WO 2006-JP300590 | 20060118 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

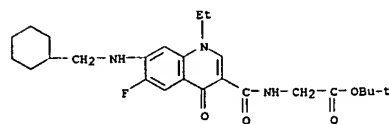
PRIORITY APPLN. INFO.: JP 2005-12715 A 20050120

OTHER SOURCE(S): MARPAT 145:188746

IT 836621-98-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

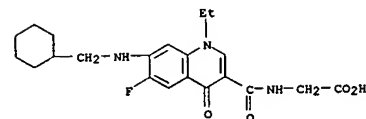
RN 836621-98-8 CAPLUS
CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 836613-50-4P, [[7-[(Cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl]amino]acetic acid
836617-05-1P 836617-06-2P 836617-18-6P

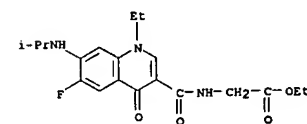
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

RN 836613-50-4 CAPLUS
CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



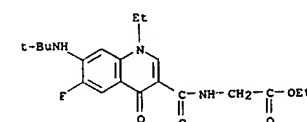
RN 836617-05-1 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



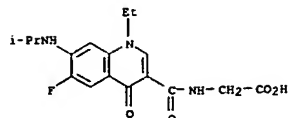
RN 836617-06-2 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

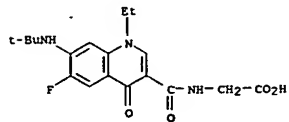


RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-19-7 CAPLUS
 CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD.

FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazine analogs I [V = H, halo,

NR1R2: A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2: X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one

or

more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted (hetero)aryl which may be monocyclic or fused with a single or multiple ring and optionally containing a heteroatom; R5 = H, OR2, alkyl, alkenyl, etc.; I or II (V, A, X, Z, and U are as defined above; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful in screening and for inducing apoptosis. Over forty synthetic examples showed the synthesis

of

intermediates and target compds. E.g., a multi-step synthesis of the amide III, starting from 2,3,4,5-tetrafluorobenzoic acid, was given. The title compds. were tested in various tests. For example, they were tested

in a stop assay, a high throughput, first-pass screen detecting drugs

that bind to and stabilize the target G-quadruplex. E.g., the compound III exhibits approx. 400x selectivity for the c-Myc quadruplex relative to

pUC

18 plasmid DNA. III was also tested for antitumor activity (biol. data given). The pharmaceutical composition comprising the compds. I or II is disclosed.

ACCESSION NUMBER: 2006:120542 CAPLUS

DOCUMENT NUMBER: 144:212787

TITLE: Preparation of substituted quinobenzoxazine analogs as

antitumor agents

INVENTOR(S): Whitten, Jeffrey P.; Schwaeb, Michael;

Siddiqui-Jain,

Adam; Moran, Terence

USA

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 558 pp., Cont.-in-part of U.S.

SOURCE: Ser. No. 903,975.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2006029950 | A1 | 20060209 | US 2005-106909 | 20050415 |
| US 7141565 | B1 | 20061128 | US 2004-821243 | 20040407 |
| US 2005085468 | A1 | 20050421 | US 2004-903975 | 20040730 |
| AU 2005325210 | A1 | 20060727 | AU 2005-325210 | 20050729 |
| CA 2575547 | A1 | 20060727 | CA 2005-2575547 | 20050729 |
| WO 2006078317 | A1 | 20060727 | WO 2005-US26977 | 20050729 |

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1773346 A1 20070418 EP 2005-856890 20050729

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: US 2003-461271P P 20030407

US 2003-463171P P 20030415

US 2003-519535P P 20031112

US 2003-532727P P 20031223

US 2004-821243 A2 20040407

US 2004-903975 A2 20040730

US 2005-106909 A 20050415

WO 2005-US26977 W 20050729

OTHER SOURCE(S): MARPAT 144:212787

IT 783361-99-9P

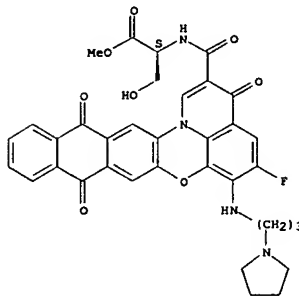
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-99-9 CAPLUS

CN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[[3-(1-pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2: A = H, F, N(R1)2: Z = O, S, NR1, CH2: U = OR2, NR1R2: X = OR2, NR1R2, halo, azido, SR2: R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compounds I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μ M. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

ACCESSION NUMBER: 2005:349002 CAPLUS
DOCUMENT NUMBER: 142:373851
TITLE: Preparation of substituted quinobenzoxazine analogs as antitumor agents

INVENTOR(S): Whitten, Jeffrey P.; Schwaeb, Michael;
Siddiqui-Jain, Adam; Moran, Terence

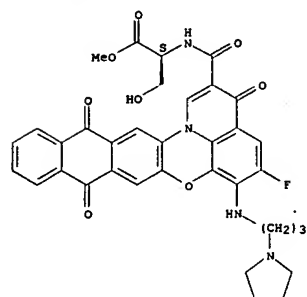
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

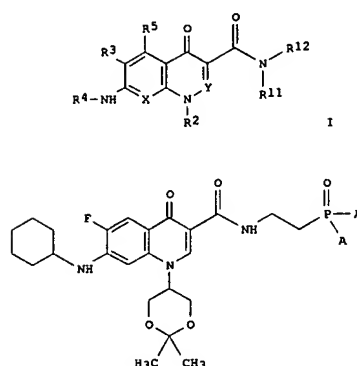
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005085468 | A1 | 20050421 | US 2004-903975 | 20040730 |
| US 7141565 | B1 | 20061128 | US 2004-821243 | 20040407 |
| US 2006029950 | A1 | 20060209 | US 2005-106909 | 20050415 |
| AU 2005325210 | A1 | 20060727 | AU 2005-325210 | 20050729 |
| CA 2575547 | A1 | 20060727 | CA 2005-2575547 | 20050729 |
| WO 2006078317 | A1 | 20060727 | WO 2005-US26977 | 20050729 |

W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,



L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP 1773346 A1 20070418 EP 2005-856890 20050729
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 2006229303 A1 20061012 US 2006-390810 20060328
US 2007043039 A1 20070222 US 2006-431602 20060510
PRIORITY APPLN. INFO.: US 2003-461271P P 20030407
US 2003-463171P P 20030415
US 2003-519535P P 20031112
US 2003-532727P P 20031223
US 2004-821243 A2 20040407
US 2004-903975 A2 20040730
US 2005-106909 A 20050415
WO 2005-US26977 W 20050729

OTHER SOURCE(S): MARPAT 142:373851
IT 783361-99-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinobenzoxazine analogs as antitumor agents)
RN 783361-99-9 CAPLUS
CN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-(1-pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)
Absolute stereochemistry.



AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared. For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

ACCESSION NUMBER: 2005:99478 CAPLUS
DOCUMENT NUMBER: 142:197896
TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors

INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

WO 2005009971 A1 20050203 WO 2004-JP10781 20040722

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2005053903 A 20050303 JP 2004-212326 20040720

CA 2530352 A1 20050203 CA 2004-2530352 20040722

EP 1650192 A1 20060426 EP 2004-748045 20040722

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1826321 A 20060830 CN 2004-80021187 20040722

US 2006148806 A1 20060706 US 2005-562128 20051223

IN 2006DN00144 A 20070824 IN 2006-DN144 20060109

MX 2006PA00675 A 20060419 MX 2006-PA675 20060118

JP 2003-278852 A 20030724

PRIORITY APPLN. INFO.: WO 2004-JP10781 W 20040722

OTHER SOURCE(S): MARPAT 142:197896

IT 836613-50-4P 836617-05-1P 836617-06-2P

836617-18-6P 836617-19-7P

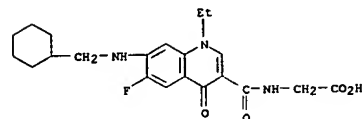
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolone derivs. as platelet aggregation inhibitors,

P2Y12 inhibitors)

RN 836613-50-4 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-05-1 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 836621-98-8P, tert-Butyl [((7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl)amino]acetate

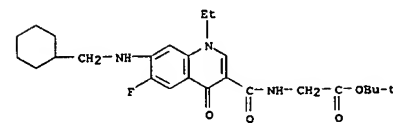
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinolone derivs. as platelet aggregation inhibitors,

P2Y12 inhibitors)

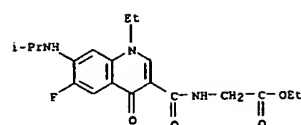
RN 836621-98-8 CAPLUS

CN Glycine, N-[[7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



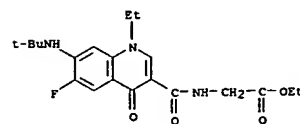
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



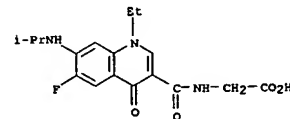
RN 836617-06-2 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



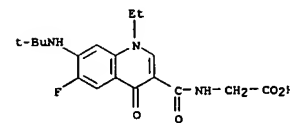
RN 836617-18-6 CAPLUS

CN Glycine, N-[[1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-19-7 CAPLUS

CN Glycine, N-[[7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 µM. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

ACCESSION NUMBER: 2004:902098 CAPLUS

DOCUMENT NUMBER: 141:395565

TITLE: Preparation of substituted quinobenzoxazine analogs as antitumor agents

INVENTOR(S): Whitten, Jeffrey P.; Schwaeb, Michael; Siddiqui-Jain, Adam; Moran, Terrance

PATENT ASSIGNEE(S): Cyclene Pharmaceuticals, Inc., USA

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DOCUMENT TYPE: Patent

LANGUAGE: English

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PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2004091504 | A2 | 20041028 | WO 2004-US11108 | 20040407 |
| WO 2004091504 | A3 | 20060105 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 TD, TG
 AU 2004229489 A1 20041028 AU 2004-229489 20040407
 CA 2521810 A1 20041028 CA 2004-2521810 20040407
 EP 1610759 A2 20060104 EP 2004-759406 20040407
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,

HR
 BR 2004009105 A 20060425 BR 2004-9105 20040407
 CN 1809572 A 20060726 CN 2004-80014351 20040407
 JP 2006522827 T 20061005 JP 2006-509898 20040407
 MX 2005PA10776 A 20060525 MX 2005-PA10776 20051006
 NO 2005004669 A 20051114 NO 2005-4669 20051011
 IN 2005KN02147 A 20070727 IN 2005-KN2147 20051031
 PRIORITY APPLN. INFO.: US 2003-461271P P 20030407

US 2003-463171P P 20030415
 US 2003-519535P P 20031112
 US 2003-532727P P 20031223
 WO 2004-US11108 W 20040407

OTHER SOURCE(S): MARPAT 141:395565

IT 783361-99-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

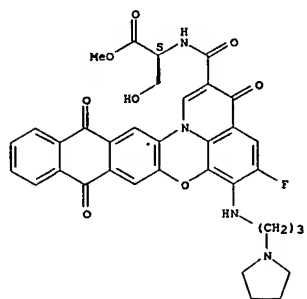
(preparation of substituted quinobenzoxazine analogs as antitumor

agents)

RN 783361-99-9 CAPLUS

CN L-Serine, N-[[5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[[3-[(1-
 pyrrolidinyl)propyl]amino]-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-
 yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 15:30:55 ON 27 DEC 2007